

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in this application.

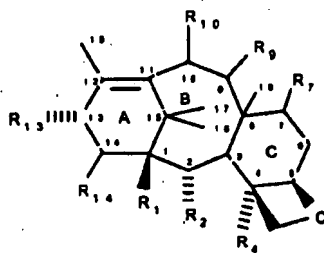
Listing of Claims:

1. (currently amended) A process for the acylation of a C(10) hydroxy group of a taxane having C(7) and C(10) hydroxy groups, the process comprising treating the taxane with an acylating agent in a reaction mixture containing a Lewis acid and less than one equivalent of an amine base for each equivalent of taxane to selectively acylate the C(10) hydroxy group.

2. (cancelled)

✓ 3. (original) The process of claim 1 wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

3 4. (previously amended) The process of claim 1 wherein the taxane has the structure:



wherein

R₁ is hydrogen, hydroxy, protected hydroxy, or together with R₁₄ or R₂ forms a carbonate;

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R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms a carbonate;

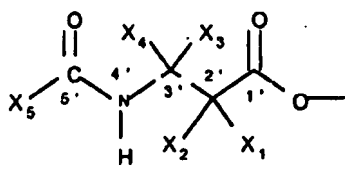
R_4 is $-OT_4$ or acyloxy;

R_7 is hydroxy;

R_9 is hydrogen, keto, $-OT_9$, $-OCOZ_9$, or $-OCOOZ_9$;

R_{10} is hydroxy;

R_{13} is hydroxy, protected hydroxy, keto, or .



R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1 forms a carbonate;

T_2 , T_4 , T_7 , T_9 and T_{14} are independently hydrogen or hydroxy protecting group;

X_9 is $-OX_8$, $-SX_7$, or $-NX_8X_9$;

X_2 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-X_{10}$, $-OX_{10}$, $-SX_{10}$, $-NX_8X_{10}$, or $-SO_2X_{11}$;

X_6 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

X_7 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group; .

X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_9 is an amino protecting group;

X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_{11} is hydrocarbyl, substituted hydrocarbyl, heteroaryl, $-OX_{10}$, or $-NX_8X_{14}$;

X_{14} is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z₉ is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

5. (original) The process of claim 4 wherein

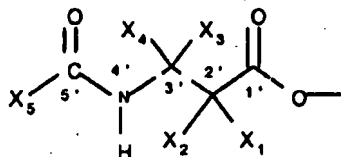
R₁ is hydroxy or together with R₁₄ or R₂ forms a carbonate;

R₂ is -OCOZ₂, -OCOOZ₂, or together with R₁ forms a carbonate;

R₄ is -OCOZ₄;

R₉ is hydrogen or keto;

R₁₃ is hydroxy, protected hydroxy, or



R₁₄ is hydrogen, hydroxy, protected hydroxy, or together with R₁ forms a carbonate;

X_1 is $-OX_8$ or $-NX_8X_9$;

X₂ is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X₃ and X₄ are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-X_{10}$, $-OX_{10}$, or $-NX_8X_{10}$;

X₆ is a hydroxy protecting group;

X₈ is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X₉ is an amino protecting group;

X₁₀ is hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z₂ and Z₄ are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

6. (original) The process of claim 1 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

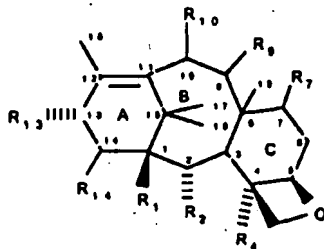
⁶~~7~~ (currently amended). The process of claim ⁵~~8~~ wherein the reaction mixture contains a Lewis acid selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

⁷~~8~~ (original) The process of claim ⁶~~7~~ wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

⁸~~9~~ (currently amended). The process of claim ⁵~~4~~ wherein the reaction mixture contains a Lewis acid selected from the group consisting of zinc chloride, stannic chloride, cerium trichloride, cuprous chloride, lanthanum trichloride, dysprosium trichloride, and ytterbium trichloride.

⁹~~10~~ (original) The process of claim ⁸~~9~~ wherein the taxane reacted with the acylating agent is 10-deacetyl baccatin III.

11. (currently amended) The process of claim ¹⁰~~9~~ wherein the taxane has the structure:



wherein

R₁ is hydrogen, hydroxy, protected hydroxy, or together with R₁₄ or R₂ forms a carbonate;

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R_2 is keto, $-OT_2$, acyloxy, or together with R_1 forms a carbonate;

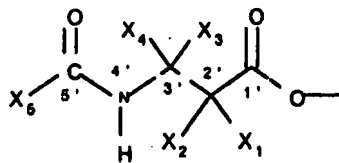
R_4 is $-OT_4$ or acyloxy;

R_7 is hydroxy;

R_9 is hydrogen, keto, $-OT_9$, $-OCOZ_9$, or $-OCOOZ_9$;

R_{10} is hydroxy;

R_{13} is hydroxy, protected hydroxy, keto, or



R_{14} is hydrogen, $-OT_{14}$, acyloxy, or together with R_1 forms a carbonate;

T_2 , T_4 , T_7 , T_9 and T_{14} are independently hydrogen or hydroxy protecting group;

X_1 is $-OX_6$, $-SX_7$, or $-NX_8X_9$;

X_2 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-X_{10}$, $-OX_{10}$, $-SX_{10}$, $-NX_8X_{10}$, or $-SO_2X_{11}$;

X_6 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, hydroxy protecting group or a functional group which increases the water solubility of the taxane derivative;

X_7 is hydrocarbyl, substituted hydrocarbyl, heteroaryl, or sulfhydryl protecting group;

X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_9 is an amino protecting group;

X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_{11} is hydrocarbyl, substituted hydrocarbyl, heteroaryl, $-OX_{10}$, or $-NX_8X_{14}$;

X_{14} is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z_9 is hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

12. (original) The process of claim 11 wherein

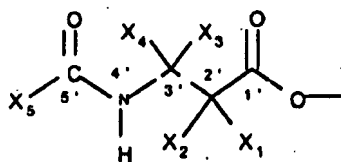
R_1 is hydroxy or together with R_{14} or R_2 forms a carbonate;

R_2 is $-\text{OCOZ}_2$, $-\text{OCOOZ}_2$, or together with R_1 forms a carbonate;

R_4 is $-\text{OCOZ}_4$;

R_9 is hydrogen or keto;

R_{13} is hydroxy, protected hydroxy, or



R_{14} is hydrogen, hydroxy, protected hydroxy, or together with R_1 forms a carbonate;

X_1 is $-\text{OX}_8$ or $-\text{NX}_8\text{X}_9$;

X_2 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_3 and X_4 are independently hydrogen, hydrocarbyl, substituted hydrocarbyl, or heteroaryl;

X_5 is $-\text{X}_{10}$, $-\text{OX}_{10}$, or $-\text{NX}_8\text{X}_{10}$;

X_6 is a hydroxy protecting group;

X_8 is hydrogen, hydrocarbyl, or substituted hydrocarbyl;

X_9 is an amino protecting group;

X_{10} is hydrocarbyl, substituted hydrocarbyl, or heteroaryl; and

Z_2 and Z_4 are independently hydrocarbyl, substituted hydrocarbyl, or heteroaryl.

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13. (currently amended) The process of claim 9 1 wherein the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

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14. (original) The process of claim ~~13~~¹⁰ wherein the Lewis acid is selected from the group consisting of zinc chloride, stannic chloride, cerium trichloride, cuprous chloride, lanthanum trichloride, dysprosium trichloride, and ytterbium trichloride.

14
15. (original) The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with a silylating agent to silylate the C(7) hydroxy group.

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16. (original) The process of claim ~~15~~¹⁴ wherein the C(10) acylated taxane is baccatin III.

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17. (original) The process of claim 1 wherein the C(10) acylated taxane comprises a C(7) hydroxy group and the process additionally comprises treating the C(10) acylated taxane with an acylating agent to acylate the C(7) hydroxy group.

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18. (original) The process of claim ~~17~~¹⁶ wherein the C(10) acylated taxane is baccatin III.

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19. (original) The process of claim 1 wherein the C(10) acylated taxane comprises a C(13) hydroxy, metallic oxide, or ammonium oxide substituent and the process additionally comprises the step of esterifying the C(10) acylated taxane by treating the C(10) acylated taxane with a side chain precursor selected from the group consisting of β -lactams, oxazolines, oxazolidine carboxylic acids, oxazolidine carboxylic acid anhydrides, and isoserine derivatives.

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20. (new) The process of claim 5 wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates;

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and the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.

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~~21.~~ (new) The process of claim ~~12~~ wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

²¹ ¹³
~~22.~~ (new) The process of claim ~~14~~ wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates.

²² ¹⁵
~~23.~~ (new) The process of claim ~~16~~ wherein the acylating agent is selected from the group consisting of anhydrides, dicarbonates, thiodicarbonates, and isocyanates; and the Lewis acid is selected from the group consisting of the halides or triflates of the Group IB, IIB, IIIB, IVB, VB, VIIB, VIII, IIIA, IVA, lanthanide, and actinide elements.